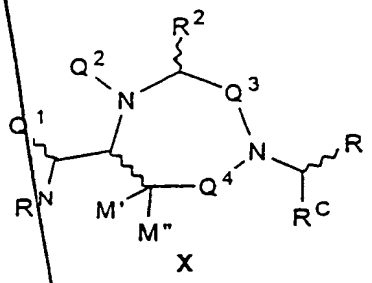
CLAIMS

1. A general mimetic of the structure



X

wherein:-

indicates a bond at a chiral centre of the structure which centre may be in the R or S configuration or a mixture thereof;

R and R^2 is an amino acid side chain group which may be the same or different;

M^I and M^{II} may be the same or different and are selected from the group consisting of hydrogen, C_1-C_4 alkyl, chloro and C_1-C_4 alkoxy;

R^N is $-N(Z^I)PgN$ where Z^I is selected from the group consisting of hydrogen, methyl and part of a cyclic amino acid sidechain joined to Q^I and PgN is a protecting group for amine;

R^C is selected from the group consisting of a carboxy terminal part of the mimetic, hydrogen, R and $-CH_2R$;

$Q_1 = R^1$ which has the same definition as R and R^2 above and Q_2 = Z where Z is selected from the group consisting of hydrogen, methyl, ethyl, formyl and acetyl, $-CH_2R$, and -C(O)R or alternatively Z is part of a cyclic amino acid side chain group joined to R^2; or Q^1 and Q^2 taken together represent a cyclic group;

Q^3 is selected from the group consisting of Y, -C(O)NHCH(R)Y-, -C(O)ENHCH(R)Y-, $-C(O)N(Q^5)CH(R)Y-$ wherein Y is selected from the group consisting of C(O) and CH_2 and Q^5 is a covalent bond from the Q^4 group to the nitrogen atom in Q^3 to form a bicyclic ring system or alternatively, is selected from the group consisting of hydrogen,

C_1-C_4 alkyl, chloro and C_1-C_4 alkoxy and E is $(AA)_n$ where n is 1-300 and AA is an amino acid residue; and

Q^4 is selected from the group consisting of $CH(M^l)$, C(O), $CH(Q^5)CH_2$ and $CH(Q^5)$ C(O);

with the provisos that when:-

(i) $Q^4 = CH(M^l)$, Y is C(O);

(ii) Q^4 = C(O), Y is CH_2;

(iii) $Q^4 = CH(Q^5)CH_2$, Y is C(O);

(iv) $Q^4 = CH(Q^5)C(O)$, Y is CH_2;

(v) $Q^3 = -C(O)N(Q^5)CH(R)Y$, Q^5 is a covalent bond from the Q^4 group to the nitrogen atom in Q^3 which is a cyclization forming a bicyclic ring system.

2. A peptide mimetic as claimed in Claim 1 wherein when Q_1 and Q_2 form a cyclic group Q_1Q_2 which is selected from the group consisting of -CH(R)C(O)-, $-CH_2CH(R)C(O)-$, $-CH_2CH_2CH(R)C(O)-$, $-CH(R)CH_2-$, $-CH_2CH(R)CH_2-$, $-CH_2CH_2CH(R)CH_2-$, $-CH_2CH(R)-$, $CH_2CH_2CH(R)-$, $-CH(R)CH_2CH_2-$, $-CH_2CH(R)CH_2CH_2-$, $CH(R)CH_2C(O)-$ and $-CH_2CH(R)CH_2C(O)-$.

3. A peptide mimetic as claimed in Claim 1 wherein n is 1-30.

4. A peptide mimetic as claimed in Claim 1 wherein E represents a loop of n amino acids which additionally incorporate non-alpha amino acid(s), alpha dialkyl amino acid(s) or other amino acid which provides the peptide mimetic with increased binding affinity or increased ease of detection, identification or purification.

5. A peptide mimetic as claimed in Claim 1 wherein Q^1 is R, Q^2 is Z, Q^3 is Y.

6. A peptide mimetic as claimed in Claim 1 wherein Q^1 is R, Q^2 is Z, Q^3 is C(O)NHCH(R)Y and Q^5 is M^l.

7. A peptide mimetic as claimed in Claim 1 wherein Q^1 is R, Q^2 is Z, Q^3 is C(O)NHCH(R)C(O)-NHCH(R)Y and Q^5 is M^l.

8. A peptide mimetic as claimed in Claim 1 wherein Q^1 is R, Q^2 is Z, Q^3 is $C(O)N(Q^5)CH(R)Y$ and Q^5 is a covalent bond to Q^3.

9. A peptide mimetic as claimed in Claim 1 wherein Q^1 is $CH(R)C(O)Q^2$, Q^2 is a covalent bond to Q^1, Q^3 is Y and Q^5 is M^I.

10. A peptide mimetic as claimed in Claim 1 wherein Q^1 is $CH_2CH(R)C(O)Q^2$, Q^2 is Q^1, Q^3 is Y and Q^5 is M^I.

11. A peptide mimetic as claimed in Claim 1 wherein R^C is $C(O)Pg^C$ where Pg^C is a protecting group for carboxylic acid.

12. A peptide mimetic as claimed in Claim 11 wherein Pg^C is selected from the group consisting of alkoxy, benzyloxy, allyloxy, fluorenyl methyloxy, amines forming easily removable amides, a cleavable linker to a solid support, the solid support, hydroxy or NHR R, C(O)R or the remaining C-terminal portion of the mimetic.

13. A peptide mimetic as claimed in Claim 12 wherein PgC is methoxy or ethoxy.

14. A peptide mimetic as claimed in Claim 1 wherein Pg^N is a protecting group for an amine.

15. A peptide mimetic as claimed in Claim 1 wherein Pg^N is selected from the group consisting of Boc, Cbz, Fmoc, Alloc, trityl, a cleavable linker to a solid support, the solid support, hydrogen, R, CO(R) or part of the remaining N terminal portion of the mimetic.

16. A peptide mimetic as claimed in Claim 1 wherein M^I or M^{II} is methoxy.

17. A peptide mimetic as claimed in Claim 1 wherein M^I or M^{II} is methyl.

18. Compounds I(i)a herein.

19. Compounds I(i)a herein where R_1 and $R_2 \neq H$.

20. Compounds I(ii)a herein.

21. Compounds I(ii)a herein where R_1 and $R_2 \neq H$.

22. Compounds II(i)a herein.

23. Compounds II(i)a herein where R_1 and $R_2 \neq H$.

24. Compounds II(iii)a herein.

25. Compounds II(iii)a herein where R_1 and $R_2 \neq H$.

26. Compounds III(i)a herein.

27. Compounds III(iii)a herein.

28. Compounds IV(i)a herein.

29. Compounds IV(ii)a herein.

30. Compounds V(i)a and V(ii)a herein.

31. Compounds VI(i)a and VI(ii)a herein.

32. Compounds 4a-d herein.

33. Compounds 5a-d herein.

34. Compounds 6a-d herein.

35. Compounds 7a-d herein.

36. Compounds 8a-d herein.

37. Compounds 4a-d herein where R^1 and $R^2 \neq H$.

38. Compounds 5a-d herein where R^1 and $R^2 \neq H$.

39. Compounds 6a-d herein where R^1 and $R^2 \neq H$.

40. Compounds 7a-d herein where R^1 and $R^2 \neq H$.

41. Compounds 8a-d herein where R^1 and $R^2 \neq H$.

42 Compounds 10 herein or compounds 10 where R^1 and $R^2 \neq H$.

43. Compounds 11-14, 16-19, 21-22, 23(a-d), 25(a-d), 26-34, 35(a-c), 36-38 and 43-46 or compounds 11-14, 16-19, 21-22, 23(a-d), 25(a-d), 26-34, 35(a-c), 36-38 and 43-46 where R^1 and $R^2 \neq H$.

44. A process for preparation of compounds 4a-d herein comprising the reaction of imines 3a-d herein with an allyl boron reagent to provide compounds 4a-d.

45. A process as claimed in Claim 44 wherein imines 3a-d are prepared by condensation of amino acid aldehydes 1 herein and amines 2a-d herein.

46. A process as claimed in Claim 44 wherein addition of formaldehyde solution to compounds 4a-d provides imidazolidines 5a-d herein.

47. A process as claimed in Claim 46 wherein compounds 6a-d herein are obtained by oxidation of imidazolidines 5a-d.

48. A process as claimed in Claim 46 wherein imidiazolidines 5a-d are dihydroxylated to provide compounds 7a-d herein.

49. A process as claimed in Claim 46 wherein aldehydes 8a-d herein are obtained by ozonlysis of imidazolidines 5a-d.

50. A process as claimed in Claim 48 wherein aldehydes 8a-d are obtained by oxidation of compounds 7a-d.

51. A process as claimed in Claim 48 wherein compounds 6a-d are reduced to form aldehydes 8a-d.

52. A process as claimed in Claim 50 wherein aldehydes 8a-d are oxidized to provide carboxylic acids 6a-d.

53. A process as claimed in Claim 50 wherein aldehydes 8a are subjected to reductive amination with compound 9 herein to provide amines 10 herein.

54. A process as claimed in Claim 53 wherein amines 10 are subjected to removal of group PgC^{I} to provide compounds 11 herein.

55. A process as claimed in Claim 54 wherein compounds 11 are subjected to cyclization to provide compounds 12 herein.

56. A process as claimed in Claim 55 wherein mimetics I(i) herein are obtained by hydrogenation of compounds 12.

57. A process as claimed in Claim 55 wherein mimetics I(i)a herein are produced by acid hydrolysis of compounds 12.

58. A process as claimed in Claim 47 wherein mimetics I(ii) are obtained by:-

(i) removal of group PgA^{I} from compounds 6b to provide compounds 13 herein;

(ii) cyclization of compounds 13 to provide compounds 14 herein; and

(iii) deprotection of the imidazolidine group in compounds 14.

59. A process as claimed in Claim 53 wherein amines 10 are reacted with compounds 15 herein in the presence of base to provide compounds 16 herein, whereby groups PgN^{I} and PgC^{I} are subsequently

removed to provide compounds 17 herein which, after hydrogenation and cyclization, provide mimetics II(i) herein.

60 A process as claimed in Claim 47 wherein compounds 6c have the group PgN^1 removed to provide compounds 18 herein which are converted to compounds 19 herein which by deprotection of the imidazolidine group are converted to mimetics II(ii) herein.

61 A process as claimed in Claim 47 wherein compounds 6a are reacted with compound 20 herein to provide compound 21 herein which, after removal of groups PgN^1 and PgC^1 are converted to compounds 22 herein which are subsequently converted to compounds 19 which by deprotection of the imidazolidine group, are converted to mimetics II(ii) herein.

62. A process as claimed in Claim 46 wherein compounds 5a-d are converted to compounds 23a-d herein by hydroboration whereafter compounds 23a-d are oxidized to compounds 24a-d herein whereafter compound 24a is subjected to reductive amination with compound 9 to provide compounds 26 herein which are subsequently converted to mimetics II(iii) herein.

63. A process as claimed in Claim 46 wherein compounds 5a-d are converted to compounds 23a-d herein by hydroboration whereafter compounds 23a-d are oxidized to form compounds 25a-d herein and subsequently compound 25a or 25c is converted to mimetics II(iv) herein.

64. A process as claimed in Claim 53 wherein amines 10 are reacted with compounds 15 herein which compounds in the presence of base are converted to compounds 16 herein which then have the group PgN^1 removed to provide compounds 27 herein which after reaction with compound $PgN^1NHCH(R)COOH$ are converted to compounds 28 herein which are subsequently converted to mimetics III(i) herein.

65. A process as claimed in Claim 48 wherein compound 7a is dehydrated to provide compound 29 herein which are then converted to compound 30 herein whereafter compounds 30 by reaction with compound $PgN^1NHCH(R)COOH$ form compounds 31 which are ther

oxidized to form compounds 32 herein which after removal of groups PgN^I and PgC^I and reductive animation are converted to compounds 33 herein which are subsequently converted to compounds 34 herein which after deprotection of the imidazolidine group is converted to mimetics IV(i) herein.

66. A process as claimed in Claim 46 ~~or 48~~ wherein compounds 5a, c or 7a, c are oxidized to form compounds 35a, c herein whereafter compounds 35c are subjected to reductive animation to form compounds 36 herein which after removal of the group PgN^I are converted to compounds 37 herein whereafter mimetics IV(ii) are produced by deprotection of the imidazolidine group.

67. A process as claimed in Claim 46 ~~or 48~~ wherein compounds 5a, c or 7a, c are oxidized to form compounds 35a, c herein whereafter compounds 35c are reacted with compounds 26 herein to form compounds 38 which after removal of the groups PgN^I and PgC^I are converted to compounds 37 which after deprotection of the imidazolidine are converted to mimetics IV(ii).

68. A process as claimed in Claim 57 wherein mimetics I(i) wherein R^1 is an alkylated aspartate or alkylated glutamate side chain which correspond to compounds 43 and 45 respectively which subsequently each have the group PgC^I removed and cyclized to provide compounds 44 and 46 respectively which are subsequently converted to mimetics V and VI respectively.

69. A process of making compounds 54 herein wherein initially compounds 49 herein are converted to compounds 50 herein which thereafter after reaction with compounds 9 herein produces compounds 51 herein which are subsequently converted to compound 52 herein which are then reductively aminated with compounds 9 to provide said compounds 54.

70. A process as claimed in Claim 69 wherein compounds 54 are converted to compounds 55 after removal of groups PgC^I and PgN^I which are then converted to mimetics I(i)a where Z and R^I is H.

71. A process as claimed in Claim 69 wherein compound 54 after removal of PgN^I is converted to compounds 10 herein wherein R^I, M, M^I and M^{II} are H.

72. A process for making mimetics I(i)a herein stereospecifically wherein compounds 49 herein are reacted with vinyl magnesium bromide to compounds 50 herein which are then reacted with compounds 9 herein to form compounds 51 herein which are then reacted with compounds 15 herein wherein PgN^I is Cbz to form compounds 53 herein which are then converted to mimetics I(i)a by hydrogenation.

73. A library of peptide mimetics comprising at least one ~~mimetic from any one of Claims 1-31~~.

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